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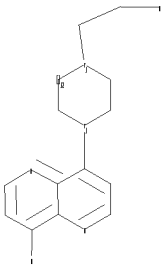
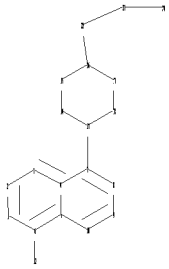




chain nodes :
12 22 23 24

ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18
chain bonds :
6-12 7-13 16-22 22-23 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16 16-17 17-18
exact/norm bonds :
6-12 7-13 13-14 13-18 14-15 15-16 16-17 16-22 17-18 22-23 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 22:CLASS 23:CLASS 24:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 82 SEA SSS FUL L1

=> file ca

=> s l3
L4 3 L3

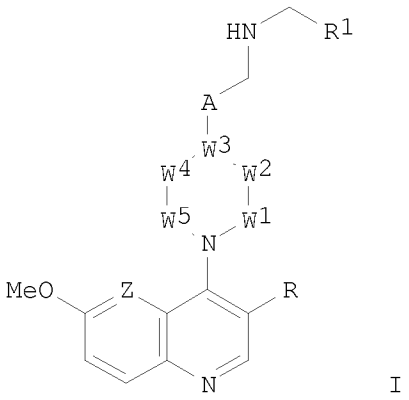
=> d ibib abs fhitstr 1-3

L4 ANSWER 1 OF 3 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:188914 CA
TITLE: Preparation of naphthyridinylpiperazinylethylaminomethylpyridothiazinones and related compounds as antibacterial agents
INVENTOR(S): Miller, William Henry; Rouse, Meagan B.; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 52pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081289	A2	20060803	WO 2006-US2617	20060124
WO 2006081289	A3	20061214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1846411	A2	20071024	EP 2006-733883	20060124
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
US 20080139539	A1	20080612	US 2007-814611	20070724
PRIORITY APPLN. INFO.:			US 2005-646813P	P 20050125
			WO 2006-US2617	W 20060124

OTHER SOURCE(S): MARPAT 145:188914
GI



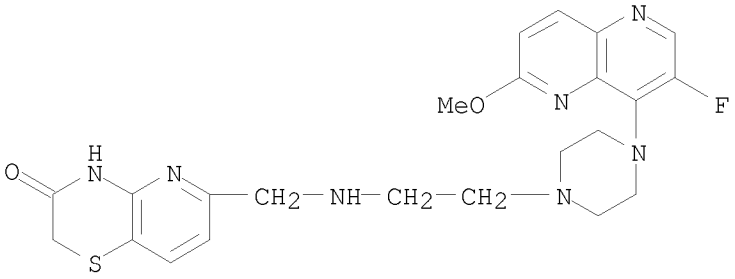
I

AB Title compds. [I; Z = CH, N; R = H, F; W = CH, C(OH), N; W1, W2, W4, W5 = CH2, or 1 of W1, W2, W4, W5 = CO, the others = CH2; A = CH2, CH(OH); R1 = 4H-pyrido[3,2-b][1,4]thiazin-3-oxo-6-yl, 4H-pyrido[3,2-b][1,4]oxazin-3-oxo-6-yl, 2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-6-yl], were prepared Thus, [2-[4-[3-fluoro-6-methoxy-1,5-naphthyridin-4-yl]-1-piperazinyl]ethyl]amine (preparation given), 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-

carboxaldehyde (preparation given), and Na2SO4 were kept 12 h in CH2Cl2/EtOH; NaBH4 was added to give after 1 h 30% 6-[[[2-[4-[3-fluoro-6-methoxy-1,5-naphthyridin-4-yl]-1-piperazinyl]ethyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one. A substantial majority of I showed min. inhibitory concns. of ≤20 mg/mL against ≥1 of Streptococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Enterococcus faecalis, etc.

IT 903587-59-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of naphthyridinylpiperazinylethylaminomethylpyridothiazinones and related compds. as antibacterials)

RN 903587-59-7 CA
CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[2-[4-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)-1-piperazinyl]ethyl]amino]methyl]- (CA INDEX NAME)



L4 ANSWER 2 OF 3 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:350152 CA
TITLE: Preparation of quinoline and naphthyridine derivatives as antibacterial agents
INVENTOR(S): Hennessy, Alan J.; Miller, William Henry; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087145	A2	20041014	WO 2004-US9371	20040326
WO 2004087145	A3	20041104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1605938	A2	20051221	EP 2004-758428	20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006521401	T	20060921	JP 2006-509364	20040326
US 20060189601	A1	20060824	US 2005-550676	20050926
PRIORITY APPLN. INFO.:			US 2003-458147P	P 20030327
			WO 2004-US9371	W 20040326

OTHER SOURCE(S): MARPAT 141:350152
GI

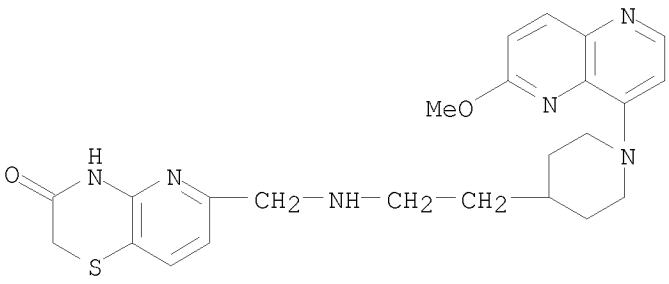
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinoline and naphthyridine derivs. I [Z1 = N or CR1a; R1 and R1a independently = H, OH, OH, NH2, (un)substituted-alkoxy, -piperidyl, etc.; R2 = H or halo, provided that when Z1 = N, then R2 =H; R3 = H, halo, OH, CN, CF3, NO2, acyl, aryl, heteroaryl, etc.; W1 = N, C, or CR4; W2 and W6 independently = CO, CR4, or CR4R5; W3 and W5 independently = CO or CR4R5; alternatively one of W2, W3, W5 or W6 = (CR4R5)2; each R4 and R5 independently = H, halo, OH, CN , CF3, acyl, aryl, etc.; A = CR6R7 or CO; B = CR8R9 or CO; R6-9 independently = H, halo, OH, CN, azido, CO2H, acylthio, (un)substituted-alkyl, etc.; R10 = H, aryl, heteroaryl, etc.; R11 = (un)substituted bicyclic carbocyclic or heterocyclic ring attached via U; U = CO, SO2, CH2, or CR16R17 wherein R16 and R17 independently = H, aryl, heteroaryl, etc.], as well as their pharmaceutically acceptable salts, are prepared and disclosed as useful in the treatment of bacterial infections in mammals, particularly humans. Thus, e.g., II was prepared via substitution of 4-bromo-6-methoxyquinoline with (2-piperidin-4-ylethyl)carbamic acid tert-Bu ester (preparation given) followed by deprotection and N-alkylation with 3-oxo-3,4-dihydro-2H-pyrido[1,4]thiazine-6-carboxaldehyde. In antimicrobial assays, I possessed min. inhibitory concentration values ≤ 20 μg/mL.

IT 774609-31-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as antibacterial agents)

RN 774609-31-3 CA

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[2-[1-(6-methoxy-1,5-naphthyridin-4-yl)-4-piperidinyl]ethyl]amino]methyl]- (CA INDEX NAME)



L4 ANSWER 3 OF 3 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:423682 CA
TITLE: Preparation of quinoline and naphthyridine derivatives as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Gallagher, Timothy Francis; Miller, William Henry; Seefeld, Mark Antony
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041210	A2	20040521	WO 2003-US35206	20031104
WO 2004041210	A3	20040708		
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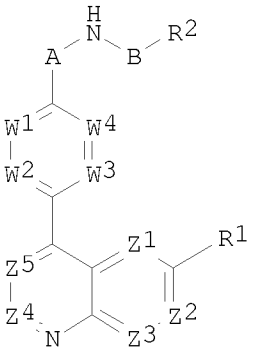
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003291227	A1	20040607	AU 2003-291227	20031104
EP 1560488	A2	20050810	EP 2003-783156	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505603	T	20060216	JP 2004-550496	20031104
US 20070004710	A1	20070104	US 2005-533501	20050502
PRIORITY APPLN. INFO.:			US 2002-423872P P	20021105
			WO 2003-US35206 W	20031104

OTHER SOURCE(S): MARPAT 140:423682
GI



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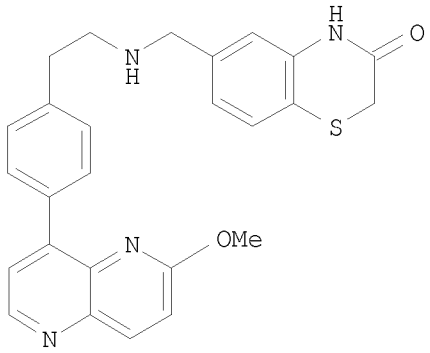


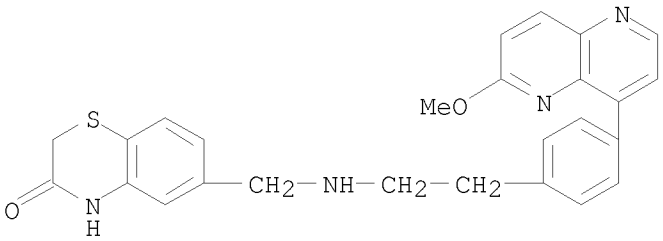
II

AB The title compds. having a [1,4]thiazin-3-one or a [1,4]oxazin-3-one subunit with general formula of I [wherein Z1-Z5 = independently N or (un)substituted CH; W1-W4 = independently N or (un)substituted CH; R1 = H, OH, amino, (un)substituted alkoxy, etc.; R2 = (un)substituted (hetero)bicyclic ring system; A = (un)substituted alkylene; B = CO, SO2, or (un)substituted alkylene] or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. I are useful for the treatment of bacterial infections in mammals, particularly humans (no data).

IT 691872-19-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline and naphthyridine derivs. as antibacterial agents)

RN 691872-19-2 CA

CN 2H-1,4-Benzothiazin-3(4H)-one, 6-[[[2-[4-(6-methoxy-1,5-naphthyridin-4-yl)phenyl]ethyl]amino]methyl]- (CA INDEX NAME)



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L5           11 SEA SSS FUL L1

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L5   ANSWER 1 OF 11  MARPAT  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        145:188914  MARPAT
```

TITLE: Preparation of naphthyridinylpiperazinylethylaminomethylpyridothiazinones and related compounds as antibacterial agents
INVENTOR(S): Miller, William Henry; Rouse, Meagan B.; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 52pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081289	A2	20060803	WO 2006-US2617	20060124
WO 2006081289	A3	20061214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1846411	A2	20071024	EP 2006-733883	20060124
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US 20080139539	A1	20080612	US 2007-814611	20070724
PRIORITY APPLN. INFO.:			US 2005-646813P	20050125
			WO 2006-US2617	20060124

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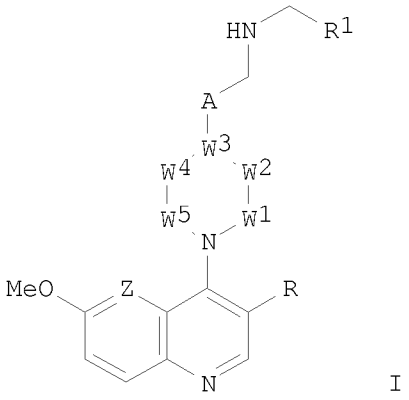
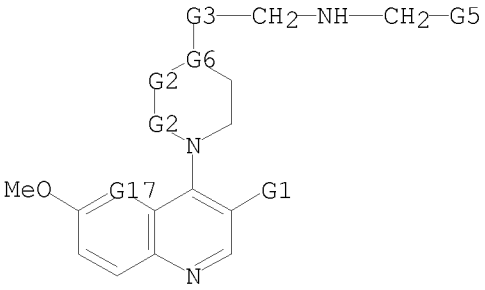


I

AB Title compds. [I; Z = CH, N; R = H, F; W = CH, C(OH), N; W1, W2, W4, W5 = CH2, or 1 of W1, W2, W4, W5 = CO, the others = CH2; A = CH2, CH(OH); R1 = 4H-pyrido[3,2-b][1,4]thiazin-3-oxo-6-yl, 4H-pyrido[3,2-b][1,4]oxazin-3-oxo-6-yl, 2,3-dihydro-[1,4]dioxino[2,3-c]pyridin-6-yl], were prepared Thus, [2-[4-[3-fluoro-6-methoxy-1,5-naphthyridin-4-yl]-1-piperazinyl]ethyl]amine (preparation given), 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde (preparation given), and Na2SO4 were kept 12 h in CH2Cl2/EtOH; NaBH4 was added to give after 1 h 30% 6-[[[2-[4-[3-fluoro-6-methoxy-1,5-naphthyridin-4-yl]-1-piperazinyl]ethyl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one. A substantial majority of I showed min. inhibitory concns. of ≤20 mg/mL against ≥1 of Streptococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Enterococcus faecalis, etc.

MSTR 1



G2 = 1 or more CH2
G3 = CH2
G6 = CH
G17 = N
Patent location: claim 1
Note: or pharmaceutically acceptable salts, or solvates

L5 ANSWER 2 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:233377 MARPAT
TITLE: Preparation of 1-phenylalkanecarboxylic acid amides of amino acid amides for the treatment of neurodegenerative diseases
INVENTOR(S): Raveglia, Luca; Peretto, Ilaria; Radaelli, Stefano; Imbimbo, Bruno Pietro; Rizzi, Andrea; Villetti, Gino
PATENT ASSIGNEE(S): Chiesi Farmaceutici S.p.A., Italy
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006016219	A2	20060216	WO 2005-IB2189	20050726
WO 2006016219	A3	20060427		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005270949	A1	20060216	AU 2005-270949	20050726	
CA 2576009	A1	20060216	CA 2005-2576009	20050726	
EP 1778623	A2	20070502	EP 2005-767873	20050726	
EP 1778623	B1	20080702			
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CN 1984878	A	20070620	CN 2005-80023905	20050726	
JP 2008509124	T	20080327	JP 2007-524411	20050726	
BR 2005013647	A	20080513	BR 2005-13647	20050726	
KR 2007038982	A	20070411	KR 2006-727604	20061228	
US 20080096968	A1	20080424	US 2007-572974	20071012	

PRIORITY APPLN. INFO.: EP 2004-425604 20040803
WO 2005-IB2189 20050726

OTHER SOURCE(S): CASREACT 144:233377

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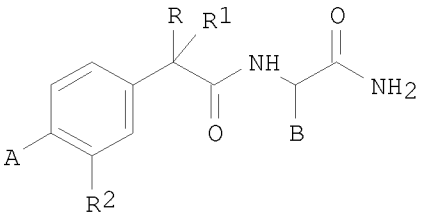
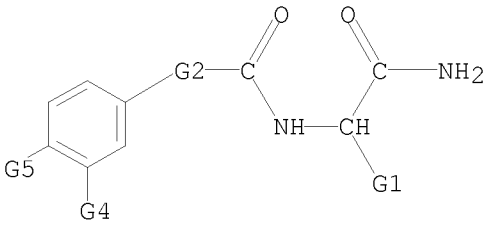


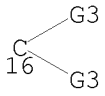
I

AB 1-Phenylalkanecarboxylic acid amides of amino acid amides [I; A = (un)substituted Ph, (un)substituted heteroaryl, (un)substituted heterocyclyl; B = H, side chain of an α-amino acid; R, R1 = (un)branched C1-4 alkyl; R2= H, CF3, OCF3, halogen; e.g., glycinamide of 1-[2-fluoro-4'-[[4-(trifluoromethyl)cyclohexyl]oxy]-1,1'-biphenyl-4-yl]cyclopropanecarboxylic acid] are prepared their use in pharmaceutical dosage formulations for the treatment and/or prevention of neurodegenerative diseases, such as Alzheimer's disease, is claimed.

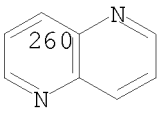
MSTR 1



G2 = 16



G5 = 260



Patent location: claim 1

L5 ANSWER 3 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:350152 MARPAT
TITLE: Preparation of quinoline and naphthyridine derivatives as antibacterial agents
INVENTOR(S): Hennessy, Alan J.; Miller, William Henry; Seefeld, Mark Andrew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087145	A2	20041014	WO 2004-US9371	20040326
WO 2004087145	A3	20041104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,

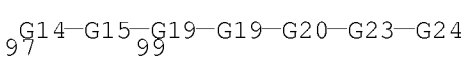
TD, TG
EP 1605938 A2 20051221 EP 2004-758428 20040326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
JP 2006521401 T 20060921 JP 2006-509364 20040326
US 20060189601 A1 20060824 US 2005-550676 20050926
PRIORITY APPLN. INFO.: US 2003-458147P 20030327
WO 2004-US9371 20040326

GI

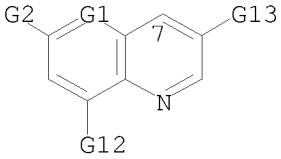
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinoline and naphthyridine derivs. I [Z1 = N or CR1a; R1 and R1a independently = H, OH, OH, NH2, (un)substituted-alkoxy, -piperidyl, etc.; R2 = H or halo, provided that when Z1 = N, then R2 =H; R3 = H, halo, OH, CN, CF3, NO2, acyl, aryl, heteroaryl, etc.; W1 = N, C, or CR4; W2 and W6 independently = CO, CR4, or CR4R5; W3 and W5 independently = CO or CR4R5; alternatively one of W2, W3, W5 or W6 = (CR4R5)2; each R4 and R5 independently = H, halo, OH, CN , CF3, acyl, aryl, etc.; A = CR6R7 or CO; B = CR8R9 or CO; R6-9 independently = H, halo, OH, CN, azido, CO2H, acylthio, (un)substituted-alkyl, etc.; R10 = H, aryl, heteroaryl, etc.; R11 = (un)substituted bicyclic carbocyclic or heterocyclic ring attached via U; U = CO, SO2, CH2, or CR16R17 wherein R16 and R17 independently = H, aryl, heteroaryl, etc.], as well as their pharmaceutically acceptable salts, are prepared and disclosed as useful in the treatment of bacterial infections in mammals, particularly humans. Thus, e.g., II was prepared via substitution of 4-bromo-6-methoxyquinoline with (2-piperidin-4-ylethyl)carbamic acid tert-Bu ester (preparation given) followed by deprotection and N-alkylation with 3-oxo-3,4-dihydro-2H-pyrido[1,4]thiazine-6-carboxaldehyde. In antimicrobial assays, I possessed min. inhibitory concentration values ≤ 20 μg/mL.

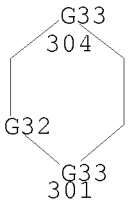
MSTR 1



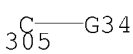
G1 = N
G14 = 7



G15 = 304-97 301-99



G19 = alkylidene <containing 1 or more C> (opt. substd.)
G20 = NH
G32 = (1-2) CH2
G33 = 305



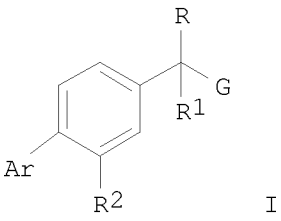
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

L5 ANSWER 4 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:243186 MARPAT
TITLE: Preparation of 1-phenylalkanecarboxylic acid derivatives for the treatment of neurodegenerative diseases
INVENTOR(S): Raveglia, Luca; Peretto, Llaria; Radaelli, Stefano; Imbimbo, Bruno Pietro; Rizzi, Andrea; Villetti, Gino
PATENT ASSIGNEE(S): Chiesi Farmaceutici S.p.A., Italy
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074232	A1	20040902	WO 2004-EP1596	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004213145	A1	20040902	AU 2004-213145	20040219
CA 2514384	A1	20040902	CA 2004-2514384	20040219
EP 1594833	A1	20051116	EP 2004-712483	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007662	A	20060301	BR 2004-7662	20040219
CN 1751018	A	20060322	CN 2004-80004729	20040219

JP 2006518351	T	20060810	JP 2006-501896	20040219
NO 2005003855	A	20051121	NO 2005-3855	20050818
US 20070060752	A1	20070315	US 2005-546190	20050818
PRIORITY APPLN. INFO.:			IT 2003-MI311	20030221
			IT 2003-MI2068	20031023
			WO 2004-EP1596	20040219

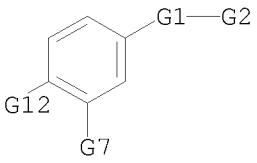
GI



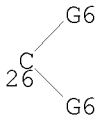
I

AB The title compds. I [R and R1 are the same and are alkyl, or CRR1 = ring; G = tetrazolyl residue, etc.; R2 = H, CF3, etc.; Ar = Ph (with one or more substituents), etc.] are prepared Thus, 2-methyl-2-(2-fluoro-4'-trifluoromethylbiphen-4-yl)propionic acid (II) was prepared in 3 steps from 2-(2-fluoro-4'-trifluoromethylbiphen-4-yl)propionic acid. In an assay for inhibition of neurotoxic Aβ42 release in the supernatant of H4-15x cells, II at 100 μM gave 58% inhibition of Aβ42 release. Compds. of this invention showed inhibitory activity against Aβ42 release while showing very low inhibitory activity against COX-1.

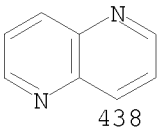
MSTR 1



G1 = 26



G2 = CONH2
G12 = 438



438

Patent location: claim 1

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:423682 MARPAT
TITLE: Preparation of quinoline and naphthyridine derivatives as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Gallagher, Timothy Francis; Miller, William Henry; Seefeld, Mark Antony
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041210	A2	20040521	WO 2003-US35206	20031104
WO 2004041210	A3	20040708		
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003291227	A1	20040607	AU 2003-291227	20031104
EP 1560488	A2	20050810	EP 2003-783156	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505603	T	20060216	JP 2004-550496	20031104
US 20070004710	A1	20070104	US 2005-533501	20050502
PRIORITY APPLN. INFO.:			US 2002-423872P	20021105
			WO 2003-US35206	20031104

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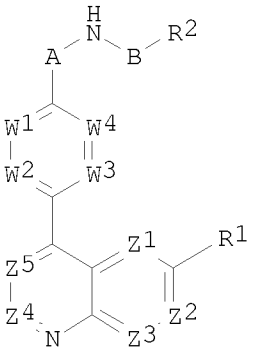
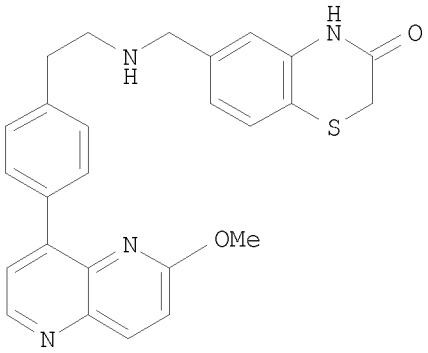


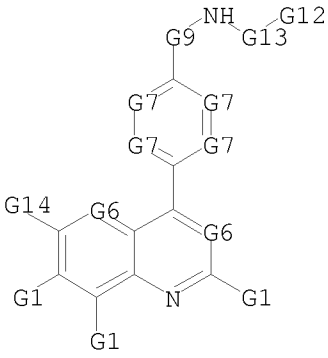
I



II

AB The title compds. having a [1,4]thiazin-3-one or a [1,4]oxazin-3-one subunit with general formula of I [wherein Z1-Z5 = independently N or (un)substituted CH; W1-W4 = independently N or (un)substituted CH; R1 = H, OH, amino, (un)substituted alkoxy, etc.; R2 = (un)substituted (hetero)bicyclic ring system; A = (un)substituted alkylene; B = CO, SO2, or (un)substituted alkylene] or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. I are useful for the treatment of bacterial infections in mammals, particularly humans (no data).

MSTR 1



G6 = N / 24

C—G1
24

G7 = 29

C—G8
29

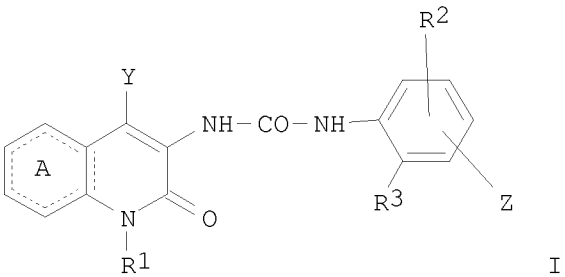
G9 = CH2CH2
Patent location: claim 1

Note: or pharmaceutically acceptable salts
Note: substitution is restricted

L5 ANSWER 6 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:180562 MARPAT
TITLE: Preparation of naphthyridine derivatives as acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors
INVENTOR(S): Muraoka, Masami; Ban, Hitoshi; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009505	A1	20000224	WO 1999-JP4257	19990805
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339962	A1	20000224	CA 1999-2339962	19990805
AU 9950659	A1	20000306	AU 1999-50659	19990805
EP 1104763	A1	20010606	EP 1999-935084	19990805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 3594317	B2	20041124	JP 1999-557820	19990805
US 6420381	B1	20020716	US 2001-762599	20010209
PRIORITY APPLN. INFO.:			JP 1998-226685	19980811
			WO 1999-JP4257	19990805

GI

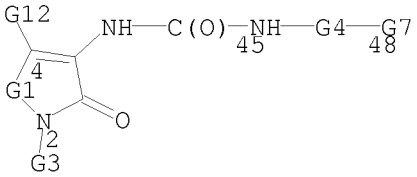


I

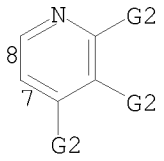
AB Title compds. I [ring A represents an optionally substituted pyridine ring; Y represents optionally substituted alkyl, etc.; R1 represents hydrogen, optionally substituted alkyl, etc.; R2 represents hydrogen or

lower alkyl; R3 represents lower alkyl; and Z represents: (1) D1Q (wherein D1 represents a bond, divalent C1-8 hydrocarbyl, etc.; and Q represents hydroxy, carboxy, etc.); or (2) D2MEW (wherein D2 represents a bond, a divalent C1-8 hydrocarbyl, etc.; M represents oxygen, sulfur, etc.; E represents a bond, divalent C1-8 hydrocarbyl, etc.; and W represents hydroxy, carboxy, etc.)] are prepared and as remedies for hyperlipemia and arteriosclerosis. The title compound N-[1-butyl-4-(3-methoxyphenyl)-1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl]-N'-[2-tert-butyl-5-(morpholinomethyl)phenyl]urea hydrochloride in vitro at 10-6 M gave 98% inhibition of ACAT.

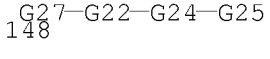
MSTR 1



G1 = 8-4 7-2



G12 = 148



G22 = bond
G24 = carbon chain <containing 1-8 C>
G25 = NHCHO
G27 = phenylene
Derivative: or prodrugs or pharmacologically acceptable salts
Patent location: claim 1
Note: substitution is restricted

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

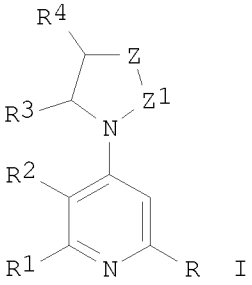
L5 ANSWER 7 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 131:184941 MARPAT
TITLE: Preparation of 1-(5-arylthieno[3,2-b]pyridin-7-yl)piperidine-4-carboxamides and analogs as GABAA receptor ligands
INVENTOR(S): Cai, Guolin; Liu, Gang; Chen, Guoquing; Albaugh, Pamela
PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943682	A1	19990902	WO 1999-US4223	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9927931	A	19990915	AU 1999-27931	19990226
US 6166203	A	20001226	US 1999-259146	19990226
US 20020077474	A1	20020620	US 2000-736497	20001213
US 6423711	B2	20020723		

PRIORITY APPLN. INFO.: US 1998-76099P 19980226
US 1999-259146 19990226
WO 1999-US4223 19990226

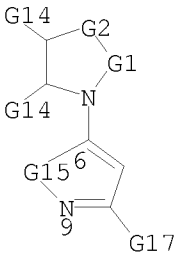
GI



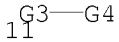
I

AB Title compds. [I; R = (un)substituted (hetero)aryl; R1R2 = atoms to complete a thiophene, pyridine, or pyrimidine ring; R3,R4 = H or alkyl; Z = O, CHR5, NR5; R5 = H, aryl, CO2H, CONH2, alkoxycarbonyl, etc.; Z1 = bond or (CH2)1-3] were prepared Thus, 3-amino-2-thiophenecarboxylic acid was cyclocondensed with 4-FC6H4COCH2CO2Et and the chlorinated product aminated by isonipecotamide to give I (R = C6H4F-4, R1R2 = CH:CHS, R3 = R4 = H, Z = CHCONH2, Z1 = CH2CH2). Data for biol. activity of I were given.

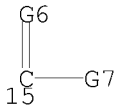
MSTR 1



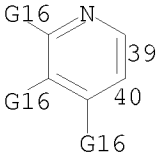
G1 = (0-3) CH2
G2 = 11



G3 = CH
G4 = 15



G7 = alkyl <containing 1-6 C> (substd. by NH2)
G15 = 39-6 40-9



Derivative: or pharmaceutically acceptable non-toxic salts
Patent location: claim 1

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 131:170353 MARPAT
TITLE: Method for preparation of pyridone urea derivatives from amino or carbamoylpyridone derivatives
INVENTOR(S): Muraoka, Masami; Morishita, Koji; Aida, Nagisa; Tanaka, Masashi; Yuri, Masatoshi; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

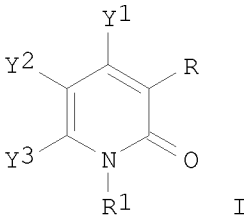
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943659	A1	19990902	WO 1999-JP718	19990217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2321237	A1	19990902	CA 1999-2321237	19990217
AU 9925473	A	19990915	AU 1999-25473	19990217
EP 1086948	A1	20010328	EP 1999-905228	19990217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
US 6300500	B1	20011009	US 2000-623030	20000825
US 20010051732	A1	20011213	US 2001-853953	20010514
US 6452008	B2	20020917		

PRIORITY APPLN. INFO.:

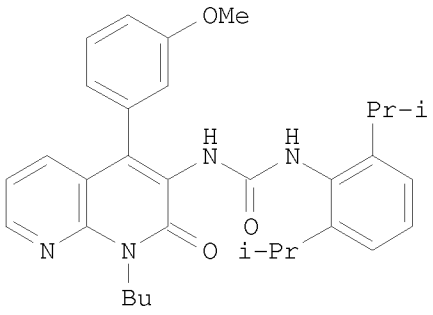
JP 1998-62346	19980225
JP 1998-92567	19980319
WO 1999-JP718	19990217
US 2000-623030	20000825

OTHER SOURCE(S): CASREACT 131:170353

GI



I

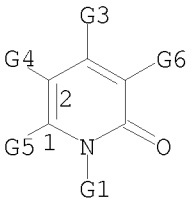


II

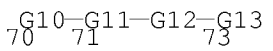
AB A process for producing a pyridone derivative represented by general formula [I; R = NHCONH-L; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, or cycloalkyl; Y1 = H, (un)substituted alkyl, cycloalkyl, or aromatic group; Y2, Y3 = H, halo, OH, cyano, CF3, NO2, NH2 mono- or dialkylamino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted alkyl, cycloalkyl, or aromatic group; or Y2 and Y3 are linked together to form an (un)substituted pyridine] is characterized by reacting (oxidizing) a carbamoylpyridone represented by general formula I (R = CONH2) with a hypochlorite or hypobromite or with lead tetraacetate to give isocyanatopyridone represented by general formula I(R = isocyanato) and reacting this compound with an amine represented by general formula L-NH2. The process is preferable, especially from the standpoint of safety. The N-(2-oxo-1,2-dihydropyridyl)urea derivs. possess acyl-CoA:cholesterol

acyltransferase (ACAT) inhibitory-activity and are useful for the treatment of hyperlipidemia and arteriosclerosis (no data). Thus, 14.5 g lead tetraacetate was added to a suspension of 10.0 g 1-butyl-3-carbamoyl-4-(3-methoxyphenyl)-1,2-dihydro-2-oxo-1,8-naphthyridine in 100 mL DMF and stirred at room temperature for 0.5 h, followed by adding 5.3 g 2,6-diisopropylaniline at room temperature, and the resulting mixture was stirred at 40-50° for 1.5 h to give 68% N-(1,2-dihydro-2-oxo-1,8-naphthyridin-3-yl)-N'-phenylurea (II).

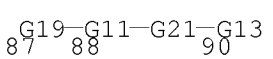
MSTR 1



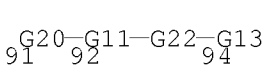
G3 = 70



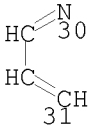
G4 = 87



G5 = 91



G10 = phenylene (opt. substd.)
G11 = bond
G12 = carbon chain <containing 1-15 C>
G13 = NHCHO
G4 +G5 = 30-2 31-1



Derivative: and protected derivatives
Patent location: claim 1
Note: also incorporates claims 8 and 18

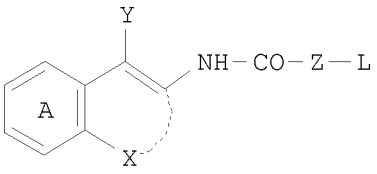
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:41083 MARPAT
TITLE: Preparation of naphthyridine derivatives as cholesterol acyltransferase inhibitors
INVENTOR(S): Muraoka, Masami; Ioriya, Katsuhisa; Ohashi, Naohito; Yagi, Hideki
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

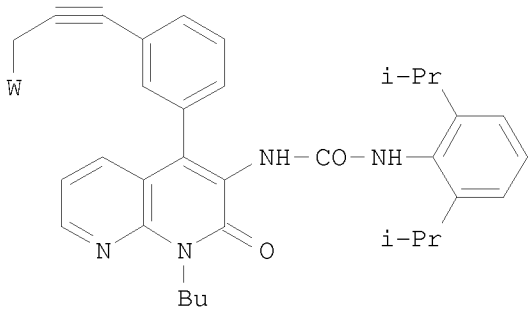
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823615	A1	19980604	WO 1997-JP4276	19971125
W: AU, CA, CN, KR, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2272068	A1	19980604	CA 1997-2272068	19971125
AU 9749688	A	19980622	AU 1997-49688	19971125
AU 725276	B2	20001012		
JP 10212288	A	19980811	JP 1997-340571	19971125
US 5843957	A	19981201	US 1997-978146	19971125
EP 947515	A1	19991006	EP 1997-912545	19971125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
CN 1245500	A	20000223	CN 1997-181539	19971125
NZ 335766	A	20001124	NZ 1997-335766	19971125
KR 2000057268	A	20000915	KR 1999-704661	19990526

PRIORITY APPLN. INFO.: JP 1996-331523 19961126
JP 1995-158475 19950531
WO 1997-JP4276 19971125

GI



I

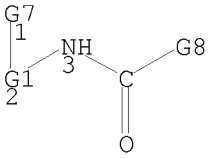


II

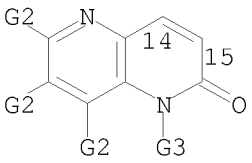
AB The title compds. [I; A is an optionally substituted pyridine ring; X is

N(R2)CO; R2 is H, (un)substituted alkyl or alkenyl, etc.; Z is a free bond, NH, C1-2 alkylene or CH:CH; Y is (un)substituted aryl; L is (un)substituted alkyl or aryl, etc.] are prepared I exhibit an inhibitory activity against acyl-CoA cholesterol acyltransferase (ACAT) and therefor are useful as preventive and therapeutic agents for hyperlipemia, arteriosclerosis and related diseases. Thus, compound (II; W = Br) (preparation given) was reacted with pyrrolidine to give the title compound II (W = 1-pyrrolidyl), which showed IC50 of 10-7 M against ACAT when tested with rat.

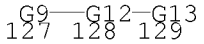
MSTR 1



G1 = 14-1 15-3



G7 = 127



G9 = phenylene
G12 = carbon chain <containing 1-15 C, 0 or more double bonds, 0 or more triple bonds>
G13 = loweralkylcarbonylamino
Patent location: claim 1

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

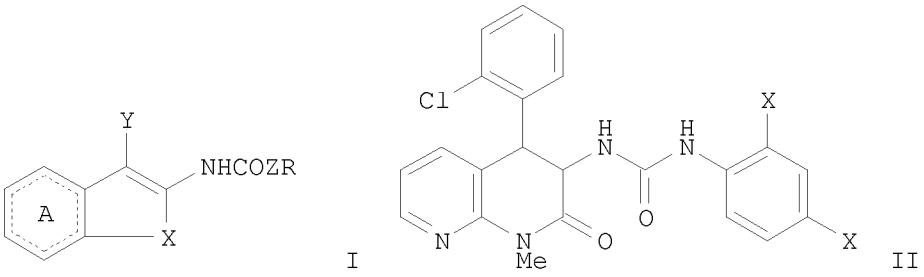
L5 ANSWER 10 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 126:89279 MARPAT
TITLE: Preparation of novel naphthyridine derivatives as cholesterol acyltransferase inhibitors
INVENTOR(S): Muraoka, Masami; Ioriya, Katsuhisa; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638445	A1	19961205	WO 1996-JP1429	19960528
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
JP 09048780	A	19970218	JP 1996-156069	19960527
JP 3996657	B2	20071024		
CA 2222687	A1	19961205	CA 1996-2222687	19960528
AU 9657808	A	19961218	AU 1996-57808	19960528
AU 699091	B2	19981119		
EP 842933	A1	19980520	EP 1996-914458	19960528
EP 842933	B1	20040804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
CN 1191536	A	19980826	CN 1996-195773	19960528
CN 1065243	C	20010502		
AT 272635	T	20040815	AT 1996-914458	19960528
ES 2225884	T3	20050316	ES 1996-914458	19960528
US 5843957	A	19981201	US 1997-978146	19971125

PRIORITY APPLN. INFO.: JP 1995-158475 19950531
WO 1996-JP1429 19960528
JP 1996-331523 19961126

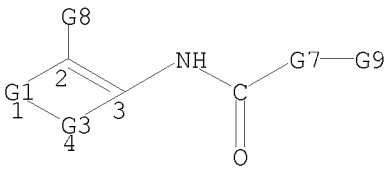
GI



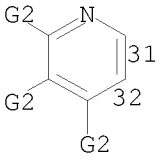
AB The title compds. [I; ring A = an optionally substituted pyridine ring; X = NR2CO; R2 = H, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, etc.; Z = a bond, NH, C1-2 alkylene, CH:CH; Y = (un)substituted alkyl, (un)substituted aryl, etc.; R = (un)substituted (cyclo)alkyl, alkenyl, alkynyl, aryl, etc.] and salts thereof are prepared I, having the activity of inhibiting acyl CoA: cholesterol acyltransferases (ACAT), are useful for preventing and treating hyperlipemia, arteriosclerosis and related diseases. Thus, 1-methyl-4-(2-chlorophenyl)-1,2-dihydro-2-oxo-1,8-naphthyridine-3-carboxylic acid (preparation given) was treated with diphenylphosphoryl azide and Et3N, and then reacted with 2,4-difluoroaniline to give the title compound II (X = F). II (X = i-Pr) at

10-6 M showed 87% ACAT inhibitory when tested on rabbits in vitro.

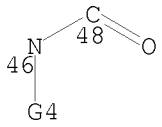
MSTR 1



G1 = 31-2 32-4



G3 = 46-1 48-3



G7 = bond
G8 = 134

G23—G24
134

G17 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
G23 = phenylene
G24 = 136 / 139

G11—G25—G26 G17—G26
136 139

G26 = alkylcarbonylamino <containing 1-5 C>
Patent location: claim 1

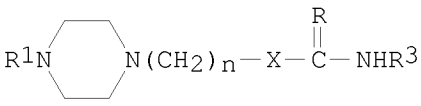
L5 ANSWER 11 OF 11 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 116:255633 MARPAT
TITLE: Preparation of 4-aryl-1-[(phenylcarbamoyloxy)propyl]pi
perazines and related compounds as central nervous
system (CNS) receptor ligands
INVENTOR(S): Jeppesen, Lone; Kristiansen, Marit; Hansen, John Bondo

PATENT ASSIGNEE(S): Novo-Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9203426	A1	19920305	WO 1991-DK244	19910823
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 5246935	A	19930921	US 1991-744556	19910813
IL 99211	A	19960131	IL 1991-99211	19910816
ZA 9106652	A	19920527	ZA 1991-6652	19910822
CA 2089768	A1	19920225	CA 1991-2089768	19910823
AU 9184413	A	19920317	AU 1991-84413	19910823
AU 653956	B2	19941020		
EP 544765	A1	19930609	EP 1991-915381	19910823
EP 544765	B1	19950802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06500546	T	19940120	JP 1991-514325	19910823
NO 9300631	A	19930423	NO 1993-631	19930223

PRIORITY APPLN. INFO.: DK 1990-2039 19900824
WO 1991-DK244 19910823

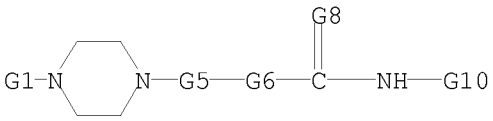
GI



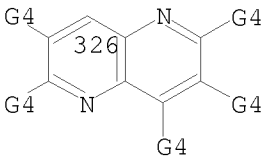
I

AB The title compds. [I; R = O, S, NZ; Z = H, C1-6 alkyl, cyano; R1 = (un)substituted Ph, (un)substituted (aza)naphthyl, -diazanaphthyl; X = O, NR2; R2 = H, C1-6 alkyl, C3-8 cycloalkyl; R3 = bezothiazolyl, (un)substituted Ph; n = 1-4], their physiol. acceptable salts and optical isomers, useful for treating CNS, cardiovascular, and gastrointestinal disorders, were prepared, e.g., by addition reaction of (arylpyperazinyl)propanols with Ph isocyanates. I have strong affinity to various CNS receptor subtypes. Thus, a mixture of 330 mg 3,4-methylenedioxyphenyl isocyanate (preparation from 3,4-methylenedioxyaniline and COCl2 given) and 0.6 g 3-[4-(7-methoxy-1-naphthyl)piperazin-1-yl]propanol in 60 mL MePh was refluxed for 1 h to give the crude title product (II) which was chromatographed and converted into II.HCl. The latter had IC50 for binding to receptors 1.6 (5HT1A), 13 (5HT2), 4.3 (D2), and 144 nM (α1 receptor).

MSTR 1D



G1 = 326



G5 = (1-4) CH2
G6 = NH
Derivative: and physiologically acceptable salts
Patent location: claim 1
Stereochemistry: and optical isomers including racemic mixtures

=> d his

(FILE 'HOME' ENTERED AT 11:35:34 ON 31 JUL 2008)

FILE 'REGISTRY' ENTERED AT 11:35:52 ON 31 JUL 2008
L1 STRUCTURE UPLOADED
L2 6 S L1 SAM
L3 82 S L1 FULL

FILE 'CA' ENTERED AT 11:36:27 ON 31 JUL 2008
L4 3 S L3

FILE 'MARPAT' ENTERED AT 11:36:43 ON 31 JUL 2008
L5 11 S L1 FULL

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---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:37:32 ON 31 JUL 2008